

杜鹃素药理活性及作用机制研究进展^Δ

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中图分类号 R965 文献标志码 A 文章编号 1001-0408(2026)13-1768-05
DOI 10.6039/j.issn.1001-0408.2026.13.19



摘 要 杜鹃素是从杜鹃花科植物兴安杜鹃的干燥叶中提取的一种二氢黄酮类化合物。本文系统梳理了杜鹃素的国内外相关研究, 对其药理活性和作用机制进行归纳总结, 发现其可通过维持血管内皮功能稳态、抑制血管内膜增生等发挥心脑血管保护作用; 可通过激活核转录因子红系2相关因子2(Nrf2)信号通路减轻肾损伤, 发挥肾保护作用; 可通过抑制磷脂酰肌醇3-激酶/蛋白激酶B信号通路, 激活Nrf2信号通路, 改善胰岛素抵抗、肝脏脂质沉积, 发挥肝保护作用; 可通过激活Nrf2/Keap1信号通路, 抑制Toll样受体4、环磷酸鸟苷-腺苷合成酶/干扰素基因刺激蛋白信号通路, 减轻神经炎症损伤, 发挥神经保护作用; 可通过促进肌腱生成、抑制破骨细胞分化, 发挥骨保护作用; 可通过诱导肿瘤细胞凋亡、减弱肿瘤细胞侵袭与转移能力, 发挥抗肿瘤作用; 可通过下调 α -毒素表达、干扰 β -内酰胺酶/青霉素结合蛋白2a(PBP2a)表达、抑制PBP2a寡聚化等, 发挥抗菌作用。目前, 杜鹃素的药理作用研究仍以动物实验和细胞实验为主, 后续仍需开展更深入的机制研究及临床试验, 为其新药研发与临床应用提供理论依据。

关键词 杜鹃素; 药理活性; 作用机制; 心脑血管; 抗肿瘤

Research progress on pharmacological activities and mechanisms of farrerol

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ABSTRACT Farrerol is a dihydroflavone compound extracted from the dried leaves of *Rhododendron dauricum* belonging to the Ericaceae family. This article systematically reviews relevant research on farrerol both domestically and internationally, summarizes its pharmacological activities and mechanisms of action, and finds that it exerts cardiovascular and cerebrovascular protective effects by maintaining the homeostasis of vascular endothelial function and inhibiting vascular intimal hyperplasia; exerts renal protective effects by activating the nuclear factor erythroid 2-related factor 2 (Nrf2) signaling pathway to reduce renal damage; exerts liver protective effects by inhibiting the phosphatidylinositol 3-kinase/protein kinase B signaling pathway, activating the Nrf2 signaling pathway, improving insulin resistance, and reducing lipid deposition in the liver; exerts neuroprotective effects by activating the Nrf2/Keap1 signaling pathway, inhibiting the Toll-like receptor 4 and cyclic GMP-AMP synthase/stimulator of interferon genes signaling pathways to reduce neuroinflammatory damage; exerts bone protective effects by promoting tendon formation and inhibiting osteoclast differentiation; exerts antitumor effects by inducing tumor cell apoptosis and weakening tumor cell invasion and metastasis; and exerts antibacterial effects by downregulating α -toxin expression, interfering with β -lactamase/penicillin-binding protein 2a (PBP2a), and inhibiting PBP2a oligomerization. Currently, research on the pharmacological effects of farrerol is still mainly focused on animal and cell experiments. Further mechanistic studies and clinical trials are needed to provide theoretical basis for its new drug development and clinical application.

KEYWORDS farrerol; pharmacological activity; mechanism; cardiovascular and cerebrovascular; anti-tumor

^Δ 基金项目 国家自然科学基金青年科学基金项目(No. 82404883); 中日友好医院高水平医院临床业务费专项研究类项目(No. 2025-NHLHCRF-JBGS-YNZJ-02)

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满山红为杜鹃花科植物兴安杜鹃 *Rhododendron dauricum* L. 的干燥叶, 具有止咳、平喘、祛痰的功效, 临床上常用于急、慢性支气管炎的治疗。杜鹃素是从满山红中分离出的主要活性成分, 属于二氢黄酮类化合物^[1]。现代药理学研究发现, 杜鹃素具有保护心脑血管、肾、肝、神经以及抗肿瘤、抗菌等作用^[2-7], 具有广阔的开发